

This listing of claims will replace all prior versions, and listings, of claims in the application:

1. (Currently amended) A compound of the formula



or a pharmaceutically acceptable salt thereof, wherein g, h and j are each independently 0 or 1; provided when h is 0, then g is 0;

each Alk is independently a alkyl radical;

U represents guanidino,  $-(G-alkyl)_k-NH-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-R_1$ ,  $-(G-alkyl)_k-C(Q)-N(R)-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-N(R)-R_1$ ,  $-(G-alkyl)_k-NH-C(Q)-O-R_1$  or  $-(G-alkyl)_k-O-C(Q)-N(R)-R_1$  radical; or U represents a hydroxyalkyl-G-radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein k is 0 or 1;

G represents a bond, O, S or NH;

Q represents O, S, NH, N-CN or N-alkyl;

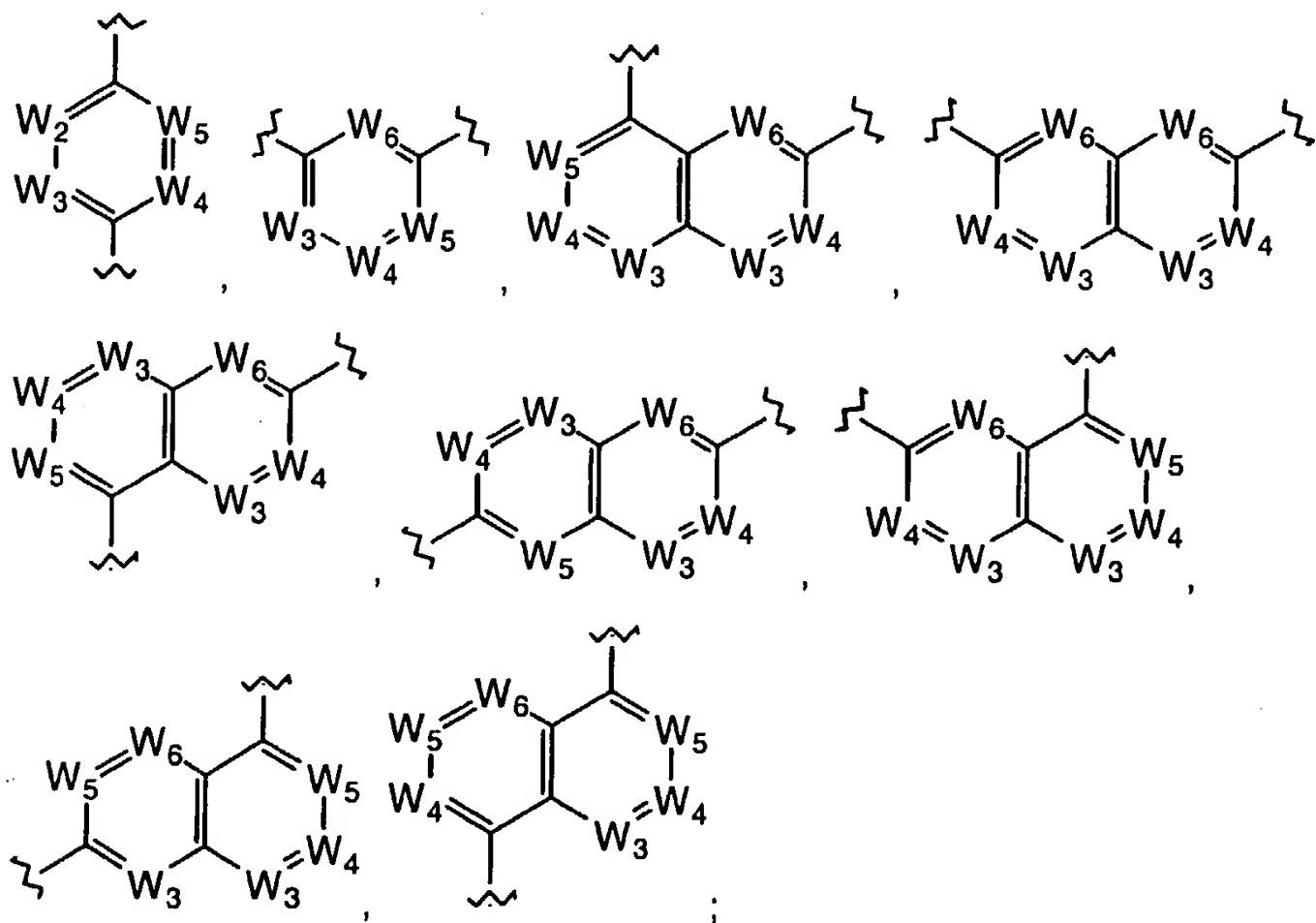
R is a radical of hydrogen or alkyl;

$R_1$  is a radical of alkyl, haloalkyl,  $R_{21}R_{22}N$ -alkyl,  $R_{21}O$ -alkyl,  $R_{21}S$ -alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

V represents a radical of formula



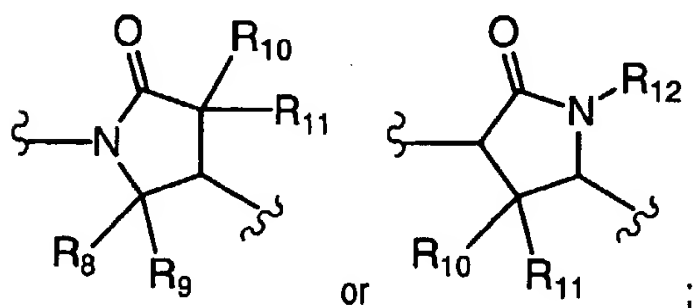
wherein each  $W_2, W_3, W_4$  and  $W_5$  is  $C-R_4$ ; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$  and  $-R_{19}$  radicals in  $W_2, W_3, W_4$  and  $W_5$  is 0-2;

each  $W_6$  is  $C-H$ ; and

each  $R_4$  is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, cyano, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$ , cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or two adjacent  $R_4$  radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

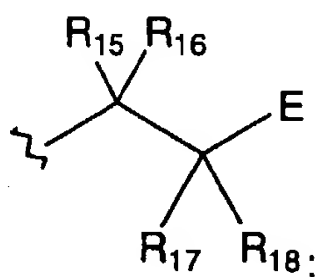
$R_5$ ,  $R_6$  and  $R_7$  are each independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy or cyano radical; or  $R_5$  and  $R_6$  or  $R_6$  and  $R_7$  taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or  $R_3$  and  $R_6$  taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of  $R_2$ ;

A represents a radical of formula



$R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  and  $R_{12}$  are each independently a hydrogen or alkyl radical; or  $-CR_8R_9-$  represents a  $-C(O)-$ ;

B represents a radical of formula



wherein (a)  $R_{15}$  is a hydrogen or alkyl radical; and  $R_{17}$  is (1) an aryl, heteroaryl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or

(b)  $R_{17}$  is a hydrogen or alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

provided that when a nitrogen atom is attached to the carbon atom to which  $R_{15}$  is attached, then  $R_{15}$  is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or  $-C(O)-NH-R_{19}$  radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ;

wherein  $R_{19}$  is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_{16}$  and  $R_{18}$  are each independently a hydrogen or alkyl radical; and

E is a radical of carboxy, amido, tetrazolyl,  $-C(O)-O-R_{20}$ ,  $-C(O)-NH-R_{20}$ ,  $-C(O)-NH-S(O)-R_{20}$ ,  $-C(O)-NH-S(O)_2-R_{20}$  or  $-C(O)-NH-C(O)-R_{20}$ ;

wherein  $R_{20}$  is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; and

provided that when U represents ~~amidino~~, guanidino,  $-C(Q)-NH-R_1$  or  $-NH-C(Q)-NH-R_1$  radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

2. (Previously presented) The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $C_1-C_{12}$  alkyl radical;

U represents guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$  or  $-(G-(C_1-C_8 \text{ alkyl}))_k-O-C(Q)-N(R)-R_1$  radical; or U represents a hydroxy( $C_1-C_{12}$  alkyl)-G- radical which is optionally substituted by a  $C_3-C_8$  cycloalkyl,

aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

Q represents O, S, NH, N-CN or N-( $C_1$ - $C_8$  alkyl);

R is a radical of hydrogen or  $C_1$ - $C_8$  alkyl;

$R_1$  is a radical of  $C_1$ - $C_8$  alkyl, halo( $C_1$ - $C_8$  alkyl) of 1-7 halo radicals,  $R_{21}R_{22}N$ -( $C_1$ - $C_8$  alkyl),  $R_{21}O$ -( $C_1$ - $C_8$  alkyl),  $R_{21}S$ -( $C_1$ - $C_8$  alkyl),  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl( $C_1$ - $C_8$  alkyl), aryl, aryl( $C_1$ - $C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1$ - $C_8$  alkyl, halo( $C_1$ - $C_8$  alkyl) of 1-7 halo radicals,  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl( $C_1$ - $C_8$  alkyl), aryl, aryl( $C_1$ - $C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  alkylthio, halo( $C_1$ - $C_4$  alkyl) of 1-5 halo radicals, halo( $C_1$ - $C_4$  alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1$ - $C_8$  alkylamino or di( $C_1$ - $C_8$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each  $R_3$  is independently a hydrogen or  $C_1$ - $C_6$  alkyl radical;

each  $R_4$  is independently a hydrogen, halo,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  alkylthio, halo( $C_1$ - $C_4$  alkyl) of 1-5 halo radicals, halo( $C_1$ - $C_4$  alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O- $R_{19}$ , -C(O)- $R_{19}$ , -C(O)-NH- $R_{19}$ , -C(O)-N( $R_{19}$ )<sub>2</sub>,  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl( $C_1$ - $C_4$  alkyl), aryl, aryl( $C_1$ - $C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_4$  alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or two adjacent  $R_4$  radicals taken together with the carbon atoms to

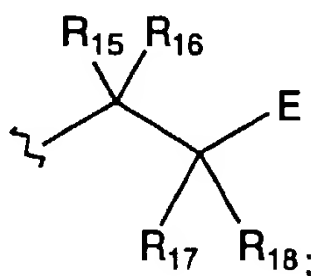
which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_5$ ,  $R_6$  and  $R_7$  are each independently a hydrogen, halo,  $C_1$ - $C_6$  alkyl,  $C_1$ - $C_6$  alkoxy,  $C_1$ - $C_6$  alkylthio, halo( $C_1$ - $C_4$  alkyl) of 1-5 halo radicals, halo( $C_1$ - $C_4$  alkoxy) of 1-5 halo radicals, hydroxy or cyano radical; or  $R_5$  and  $R_6$  or  $R_6$  and  $R_7$  taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or  $R_5$  and  $R_6$  taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of  $R_2$ ;

$X_2$  is C-H, C-( $C_1$ - $C_4$  alkyl), a  $C_3$ - $C_8$  spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thiooxo radical and 1-2 radicals of  $C_1$ - $C_6$  alkyl, halo( $C_1$ - $C_4$  alkyl) of 1-5 halo radicals, hydroxy,  $C_1$ - $C_6$  alkoxy or halo( $C_1$ - $C_4$  alkoxy) of 1-5 halo radicals;

$R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$  and  $R_{12}$  are each independently a hydrogen or  $C_1$ - $C_6$  alkyl radical; or  $-CR_8R_9$  represents a  $-C(O)-$ ;

B represents a radical of formula



wherein (a)  $R_{15}$  is a hydrogen or  $C_1$ - $C_6$  alkyl radical; and  $R_{17}$  is (1) an aryl, heteroaryl of 5-10 ring members,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical, or (2) an  $C_1$ - $C_6$  alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-S(O)_2-R_{19}$ ,  $-NH-S(O)_2-R_{19}$ ,  $-S(O)_2-NH-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$ ; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; or

(b)  $R_{17}$  is a hydrogen or  $C_1$ - $C_6$  alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl of 5-10 ring members,  $C_3$ - $C_8$  cycloalkyl, heterocyclyl of 5-8 ring members,  $-NH-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-O-C(O)-NH-R_{19}$ ,

-NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an C<sub>1</sub>-C<sub>4</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

provided that when a nitrogen atom is attached to the carbon atom to which R<sub>15</sub> is attached, then R<sub>15</sub> is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(O)-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>;

wherein R<sub>19</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>6</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>6</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and

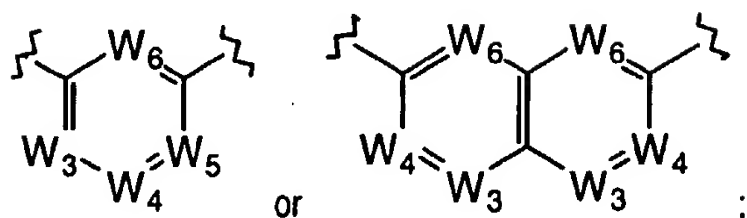
R<sub>20</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a C<sub>1</sub>-C<sub>6</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

Claim 3 (Canceled).

4. (Currently amended) The compound of ~~Claim 3~~ Claim 2 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C<sub>1</sub>-C<sub>6</sub> alkyl radical;

V represents a radical of formula



R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or methyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-.

5. (Previously presented) The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a C<sub>1</sub>-C<sub>4</sub> alkyl radical;

U represents guanidino, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-C(Q)-N(R)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-N(R)-R<sub>1</sub> or -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-C(Q)-O-R<sub>1</sub> radical;

G represents a bond, O or NH;

Q represents O, S, NH, N-CN or N-(C<sub>1</sub>-C<sub>4</sub> alkyl);

R is a radical of hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl;

R<sub>1</sub> is a radical of C<sub>1</sub>-C<sub>8</sub> alkyl, halo(C<sub>1</sub>-C<sub>8</sub> alkyl) of 1-5 halo radicals, R<sub>21</sub>R<sub>22</sub>N-(C<sub>1</sub>-C<sub>8</sub> alkyl), R<sub>21</sub>O-(C<sub>1</sub>-C<sub>8</sub> alkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>8</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>8</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>8</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members or heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;



each  $R_2$  is independently a halo,  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkylthio, halo( $C_1-C_2$  alkyl) of 1-5 halo radicals, halo( $C_1-C_2$  alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1-C_4$  alkylamino or di( $C_1-C_4$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each  $R_4$  is independently a hydrogen, halo,  $C_1-C_4$  alkyl,  $C_1-C_4$  alkoxy,  $C_1-C_4$  alkylthio, halo( $C_1-C_2$  alkyl) of 1-5 halo radicals, halo( $C_1-C_2$  alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy,  $-C(O)-O-R_{19}$ ,  $-C(O)-R_{19}$ ,  $-C(O)-NH-R_{19}$ ,  $-C(O)-N(R_{19})_2$ ,  $C_3-C_6$  cycloalkyl,  $C_3-C_6$  cycloalkyl( $C_1-C_4$  alkyl), aryl, aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_4$  alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ; and

$R_{20}$  is a  $C_1-C_4$  alkyl, aryl or heteroaryl of 5-10 ring members or a  $C_1-C_4$  alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

6. (Previously presented) The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein

U represents guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$ ,  $-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-NH-C(Q)-N(R)-R_1$  or  $-NH-C(Q)-O-R_1$  radical;

Q represents O or NH;

R is a radical of hydrogen or  $C_1-C_2$  alkyl;

$R_1$  is a radical of  $C_1-C_8$  alkyl, halo( $C_1-C_8$  alkyl) of 1-5 halo radicals,  $R_2R_{22}N-(C_1-C_4 \text{ alkyl})$ ,  $R_2O-(C_1-C_4 \text{ alkyl})$ ,  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_4$  alkyl), aryl, aryl( $C_1-C_4$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_4$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_4$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

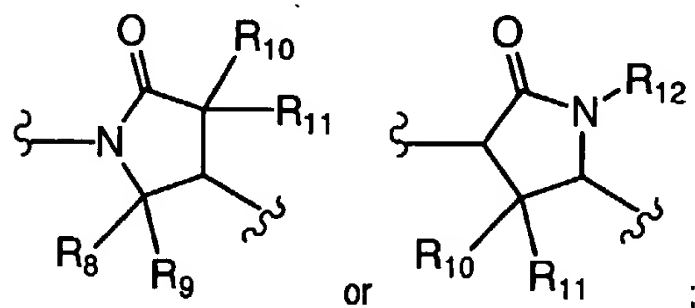
$R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1$ - $C_6$  alkyl, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo,  $C_1$ - $C_2$  alkyl,  $C_1$ - $C_2$  alkoxy,  $C_1$ - $C_2$  alkylthio,  $CF_3$ -,  $CF_3O$ -, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1$ - $C_2$  alkylamino or di( $C_1$ - $C_2$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  are independently  $C-R_4$ ;

each  $R_4$  is independently a hydrogen, halo,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy,  $C_1$ - $C_4$  alkylthio, halo( $C_1$ - $C_2$  alkyl) of 1-5 halo radicals, halo( $C_1$ - $C_2$  alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

A represents a radical of formula



(a)  $R_{15}$  is a hydrogen or  $C_1$ - $C_2$  alkyl radical; and  $R_{17}$  is  $-NH-C(O)-R_{19}$ ,  $-NH-C(O)-NH-R_{19}$ ,  $-NH-C(O)-O-R_{19}$ ,  $-NH-S(O)_2-R_{19}$  or  $-NH-S(O)_2-NH-R_{19}$  radical; or (b)  $R_{17}$  is a hydrogen or  $C_1$ - $C_2$  alkyl radical; and  $R_{15}$  is (1) an aryl, heteroaryl of 5-10 ring members,  $C_3$ - $C_8$  cycloalkyl or heterocyclyl of 5-8 ring members radical, or (2) an  $C_1$ - $C_2$  alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members,  $C_3$ - $C_8$  cycloalkyl or heterocyclyl of 5-8 ring members radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_{19}$  is a  $C_1$ - $C_4$  alkyl, aryl, aryl( $C_1$ - $C_4$  alkyl), heteroaryl of 5-10 ring members or heteroaryl( $C_1$ - $C_4$  alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_{16}$  and  $R_{18}$  are each independently a hydrogen or  $C_1$ - $C_4$  alkyl radical;

E is a radical of carboxy, amido, tetrazolyl or  $-C(O)-O-R_{20}$ ; and

$R_{20}$  is a  $C_1$ - $C_2$  alkyl, aryl or heteroaryl of 5-10 ring members or a  $C_1$ - $C_2$  alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

7. (Original) The compound of Claim 6 or a pharmaceutically acceptable salt thereof, wherein

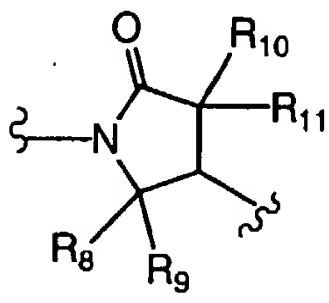
Alk is independently a  $C_1$ - $C_2$  alkyl radical;

G represents a bond or NH;

$R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1$ - $C_6$  alkyl or aryl, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_4$  is independently a hydrogen, halo,  $C_1$ - $C_2$  alkyl,  $C_1$ - $C_2$  alkoxy,  $C_1$ - $C_2$  alkylthio,  $CF_3$ -,  $CF_3O$ -, hydroxy or cyano radical;

A represents a radical of formula



(a)  $R_{15}$  is a hydrogen or  $C_1$ - $C_2$  alkyl radical; and  $R_{17}$  is  $-NH-C(O)-O-R_{19}$  or  $-NH-S(O)_2-R_{19}$  radical; or (b)  $R_{17}$  is a hydrogen or  $C_1$ - $C_2$  alkyl radical; and  $R_{15}$  is (1) an aryl or heteroaryl of 5-10 ring members, or (2) an  $C_1$ - $C_2$  alkyl radical substituted by a radical of aryl or heteroaryl of 5-10 ring members; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_{19}$  is a  $C_1$ - $C_4$  alkyl, aryl or aryl( $C_1$ - $C_4$  alkyl), wherein the aryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_{16}$  and  $R_{18}$  are each independently a hydrogen or  $C_1$ - $C_2$  alkyl radical;

E is a radical of carboxy or  $-C(O)-O-R_{20}$ ; and

$R_{20}$  is a  $C_1$ - $C_2$  alkyl, aryl or aryl( $C_1$ - $C_2$  alkyl) radical, wherein the aryl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

8. (Original) A pharmaceutical composition comprising a compound according to any of Claims 1 to 7 and a pharmaceutically acceptable carrier.

Claims 9 - 18 (Canceled).

19. (Previously added) A method for the treatment of rheumatoid arthritis comprising administering an effective amount of a compound according to Claim 1.